CLAIMS:

A process for preparing a compound of formula (I):

$$XH \cdot H_2N \stackrel{H}{\longrightarrow} S$$
 CO_2R^1
(I)

wherein R1 is para-nitrobenzyl or allyl; X is halo;

5 comprising the steps of:

a) heating a trimethylphosphinic compound of formula (IIIa)

wherein

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R¹ is para-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl;

in a solvent;

to form a compound of formula (II)

$$R^{2} \xrightarrow{N} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{S} \xrightarrow{CO_{2}R^{1}} (III)$$

15 wherein

R¹ is para-nitrobenzyl or allyl;

 \mbox{R}^2 is selected from the group consisting of $\mbox{C}_{\text{1-6}}$ alkyl, $\mbox{C}_{\text{6-10}}$ aryl, $\mbox{C}_{\text{6-10}}$ arylC $_{\text{1-6}}$ alkyl and dithianyl; and

- b) reacting said compound of formula (II) with an acid.
- 20 2. A process according to claim 1, wherein said solvent is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile.

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- 3. A process according to claim 1, wherein said acid is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.
- 4. A process according to claim 1, further comprising the step of preparing said compound of formula (IIIa), by reacting a compound of formula (IIIb)

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wherein said R1 is para-nitrobenzyl or allyl,

said R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl; and

said X is halo;

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with trimethylphosphine, in a solvent and in the presence of a base.

- 5. A process according to claim 4, wherein said solvent is tetrahydrofuran, acetonitrile or methylene chloride.
- 6. A process according to claim 4, wherein said base is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.
- 7. A process according to claim 4, further comprising the step of preparing said compound of formula (IIIb), by reacting a compound of formula (IIIc)

$$R^2$$
 H
 H
 H
 S
 O
 O
 CO_2R^1
(IIIc)

wherein said R^1 is *para*-nitrobenzyl or allyl and said R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl; with a halogenating agent, in a solvent and in the presence of a base.

- 8. A process according to claim 7, wherein said halogenating agent is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said halo is chloro or bromo.
- 9. A process according to claim 7, wherein said base is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.
- 10. A process according to claim 7, further comprising the step of preparing said compound of formula (IIIc), by reacting a compound of formula (V)

wherein said R^1 is *para*-nitrobenzyl or allyl and said R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl;

with a compound of formula (IV)

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$$Y-CH_2$$
 (IV)

wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent.

- 11. A process according to claim 10, wherein said Y is bromo or chloro.
- 12. A process according to claim 10 wherein said solvent is alcohol selected from the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.
- 13. A process according to claim 10, further comprising the step of preparing said compound of formula (V) by reacting a compound of formula (VIa)

- wherein R^1 is *para*-nitrobenzyl or allyl and wherein R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl; with an acid in a solvent.
 - 14. A process according to claim 13 wherein said acid is *para*-toluene sulfonic acid or methane sulfonic acid.
 - 15. A process according to claim 13 wherein said solvent is methylene chloride, tetrahydrofuran, acetone or mixtures thereof.
 - 16. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by:

reacting a compound of formula (VIb)

wherein

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R¹ is para-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl;

with a reducing agent selected from the group consisting of sodium borohydride, sodium cyanoborohydride, borane and sodium triacetoxy borohydride; in a solvent.

- 17. A process according to claim 16 wherein said reducing agent is sodium triacetoxy borohydride.
- 18. A process according to claim 16 wherein said solvent is acetic acid, methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
 - 19. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by reacting a compound of formula (XI)

wherein R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl;

with a compound of formula (X)

$$HO \longrightarrow R^1$$

wherein R¹ is *para*-nitrobenzyl or allyl; in a solvent; in the presence of a base.

20. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (VIII)

wherein

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 R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl;

 L_2 is a leaving group selected from the group consisting of halo, azide and C_{1-6} alkoxy; with a compound of formula (VII)

wherein R¹ is para-nitrobenzyl or allyl, in a solvent, in the presence of a base;

further comprising the step of preparing said compound of formula (VIII) by reacting a compound of formula (XI)

wherein R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl; with a compound of formula (IX)

- wherein each of said L₁ and L₂ is a leaving group selected from the group consisting of halo, azide and C₁₋₆alkoxy; in a solvent, optionally in the presence of a base.
 - 21. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (VIc)

$$O \xrightarrow{R^2} R^3$$

$$CO_2R^1$$
 (VIc)

wherein

R¹ is *para*-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl and dithianyl;

R3 is hydrogen or C1-6alkyl; and

R⁴ is hydrogen or C₁₋₆alkyl; with ozone, in a solvent.

22. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (XI)

(XI)

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wherein R^2 is selected from the group consisting of C_{1-6} alkyl, C_{6-10} aryl, C_{6-10} aryl C_{1-6} alkyl, and dithianyl; with a compound of formula (XII)

wherein

each of said L₃ is halo;

R¹ is *para*-nitrobenzýl or allyl;

in a solvent, in the presence of a base.

- 23. A process according to claim 20, wherein each of L_1 and L_2 , wherever each of them occurs, is halo selected from the group consisting of bromo or chloro.
 - 24. A process according to claim 21 wherein R³ is methyl and R⁴ is methyl.
- 25. A process according to any of claims 7, 19-20 or 22 wherein said solvent, wherever it occurs, is methylene chloride, tetrahydrofuran or mixtures thereof.

- 26. A process according to claim 21 wherein said solvent is methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
- 27. A process according to any of claims 19-21 wherein said base, wherever it occurs, is selected from the group consisting of disopropylamine, triethylamine, pyridine and 2,6-lutidine.
- 28. A process according to any of claims 1-27, wherein each of said R¹, wherever it occurs, is *para*-nitrobenzyl.
- 29. A process according to any of claims 1-27, wherein each of said R¹, wherever it occurs, is allyl.
- 30. A process according to any of claims 1-27, wherein each of said R^2 , wherever it occurs, is C_{6-10} aryl C_{1-6} alkyl.
- 31. A process according to any of claims 1-27, wherein each of said R², wherever it occurs, is benzyl.
 - 32. A compound of formula (I)

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wherein R¹ is *para*-nitrobenzyl or allyl; and X is halo.

33. A compound of formula (II)

$$R^{2} C HN H H S CO_{2}R^{1}$$
 (II)

wherein R^1 is para-nitrobenzyl or allyl; and R^2 is $(C_6\text{-}C_{10})\text{aryl}(C_{1\text{-}6})\text{alkyl}$.

34. A compound of formula (III)

wherein R¹ is para-nitrobenzyl or allyl;

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 R^2 is (C_6-C_{10}) aryl (C_{1-6}) alkyl;

K is hydroxy, halo or -P-(CH₃)₃;

wherein the C-K bond is a single bond when K is hydroxy or halo; and a double bond when K is -P-(CH_3)₃; and

wherein said compound of formula (III) is selected from the group consisting of compound of formulae (IIIa), (IIIb) and (IIIc):

$$\mathbb{R}^2$$
 $\stackrel{\mathsf{H}}{\bigcirc}$ $\stackrel{\mathsf{H}}$

35. A compound of formula (V)

$$R^{2} \xrightarrow{\begin{array}{c} H & H & H \\ O & & \\ O & & \\ \end{array}} SH$$

$$CO_{2}R^{1}$$

$$(V)$$

wherein R^1 is para-nitrobenzyl or allyl; and R^2 is (C_6-C_{10}) aryl (C_{1-6}) alkyl.

36. A compound of formula (VI)

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wherein R¹ is para-nitrobenzyl or allyl;

 R^2 is (C_6-C_{10}) aryl (C_{1-6}) alkyl;

T is hydroxy or >O;

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wherein the C-T bond is a single bond when T is hydroxy; and a double bond when T is >O; and

wherein said compound of formula (VI) is selected from the group consisting of compound of formulae (VIa) and (VIb):

$$\bigcap_{O}^{\mathbb{R}^2} \bigcap_{O}^{OH} \bigcap_{CO_2\mathbb{R}^1}^{OH} (Vla)$$
 and
$$\bigcap_{O}^{\mathbb{R}^2} \bigcap_{CO_2\mathbb{R}^1}^{\mathbb{R}^2} (Vlb)$$

- 10 37. A compound according to any of claims 32-36, wherein said R¹ is *para*-nitrobenzyl.
 - 38. A compound according to any of claims 32-36, wherein said R¹ is allyl.
 - 39. A compound according to any of claims 32-36, wherein said R² is benzyl.